

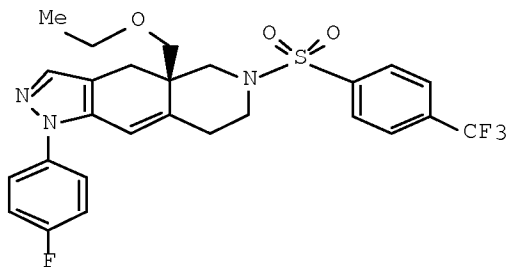
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 3 L3

=> d abs fhitstr bib 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
GI



I

AB The present invention provides amorphous solid forms of the compd. of formula (I), (R)-4a-ethoxymethyl-1-(4-fluorophenyl)-6-(4-(trifluoromethyl)benzenesulfonyl)-4,4a,5,6,7,8-hexahydro-1H, 1,2,6-triazacyclopenta[b]naphthalene, as well as methods for preparing the compound of formula I by precipitation

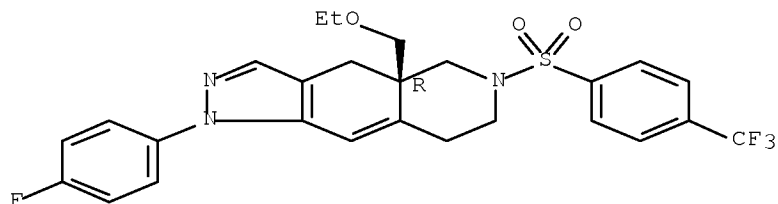
IT 1018679-79-2

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (solid amorphous forms and process for preparing)

RN 1018679-79-2 CAPLUS

CN 1H-Pyrazolo[3,4-g]isoquinoline, 4a-(ethoxymethyl)-1-(4-fluorophenyl)-4,4a,5,6,7,8-hexahydro-6-[[4-(trifluoromethyl)phenyl]sulfonyl]-, (4aR)- (CA INDEX NAME)

Absolute stereochemistry.



AN 2010:1435796 CAPLUS Full-text

DN 153:627108

TI Solid amorphous forms and process for preparing

IN Clark, Robin; Fry, Doug

PA Corcept Therapeutics, Inc., USA

SO PCT Int. Appl., 18pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2010132445	A1	20101118	WO 2010-US34382	20100511
	W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	US 20100292477	A1	20101118	US 2010-777340	20100511
PRAI	US 2009-177483P	P	20090512		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

AB Addn. of the 4-fluorophenylpyrazole group to the previously described 2-azadecalin glucocorticoid receptor (GR) antagonist 1 resulted in significantly enhanced functional activity. SAR of the bridgehead substituent indicated that whereas groups as small as Me afforded high GR binding, GR functional activity was enhanced by larger groups such as benzyl, substituted ethers, and aminoalkyl derivs. GR antagonists with binding and functional activity comparable to mifepristone were discovered (e.g., 52: GR binding Ki 0.7 nM; GR reporter gene functional Ki 0.6 nM) and found to be highly selective over other steroid receptors. Analogs 43 and 45 had >50% oral bioavailability in the dog.

IT 864972-02-1P

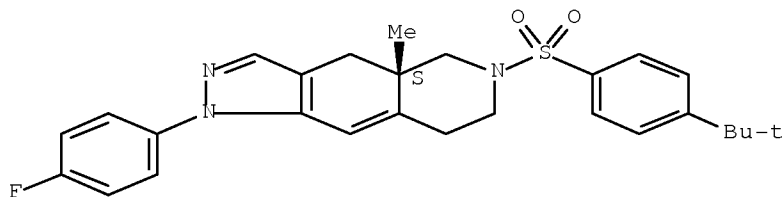
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(1H-pyrazolo[3,4-g]hexahydro-isoquinolines as selective glucocorticoid receptor antagonists)

RN 864972-02-1 CAPLUS

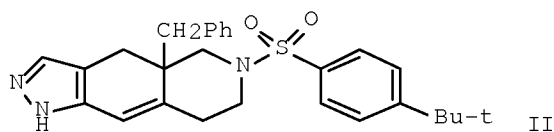
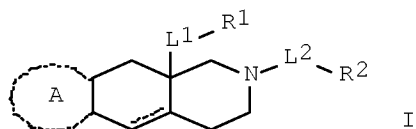
CN 1H-Pyrazolo[3,4-g]isoquinoline, 6-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1-(4-fluorophenyl)-4,4a,5,6,7,8-hexahydro-4a-methyl-, (4aS)- (CA INDEX NAME)

Absolute stereochemistry.



AN 2008:232071 CAPLUS Full-text
 DN 148:440269
 TI 1H-Pyrazolo[3,4-g]hexahydro-isoquinolines as selective glucocorticoid
 receptor antagonists with high functional activity
 AU Clark, Robin D.; Ray, Nicholas C.; Williams, Karen; Blaney, Paul; Ward,
 Stuart; Crackett, Peter H.; Hurley, Christopher; Dyke, Hazel J.; Clark,
 David E.; Lockey, Peter; Devos, Rene; Wong, Melanie; Porres, Soraya S.;
 Bright, Colin P.; Jenkins, Robert E.; Belanoff, Joseph
 CS Corcept Therapeutics, Menlo Park, CA, 94025, USA
 SO Bioorganic & Medicinal Chemistry Letters (2008), 18(4), 1312-1317
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Ltd.
 DT Journal
 LA English
 OS CASREACT 148:440269
 OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
 RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
 GI

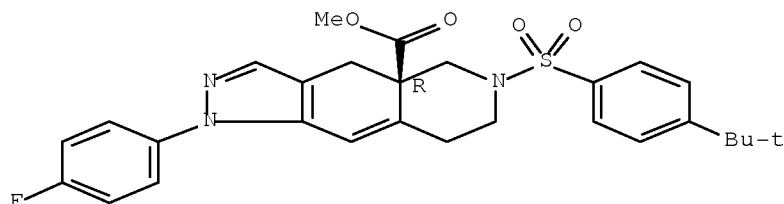


AB Title compds. I [L1 and L2 independently = a bond, O, S, etc.; A =
 (un)substituted 5-6 membered heterocycloalkyl or heteroaryl; R1 = H,
 (un)substituted alkyl, heteroalkyl, etc.; R2 = (un)substituted alkyl,
 heteroalkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts,
 are prepared and disclosed as modulators of glucocorticoid receptor. Thus, II
 was prepared by cyclization of (S)-8a-benzyl-2-(4-tert-butyl-benzenesulfonyl)-
 7-[1-hydroxy-meth-(Z)-ylidene]-1,3,4,7,8,8a-hexahydro-2H-isoquinolin-6-one
 (preparation given) with hydrazine hydrate. The activity of I was evaluated
 in glucocorticoid receptor binding assay and it was revealed that selected
 compds. of the invention displayed IC50 values in the range of 10 up to 100 nm
 and others below 10 nM. Pharmaceutical compns. comprising I are disclosed.

IT 864972-22-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of triazacyclopenta[b]naphthalene derivs. as modulators of
 glucocorticoid receptor)

RN 864972-22-5 CAPLUS
 CN 4aH-Pyrazolo[3,4-g]isoquinoline-4a-carboxylic acid,
 6-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-1-(4-fluorophenyl)-1,4,5,6,7,8-
 hexahydro-, methyl ester, (4aR)- (CA INDEX NAME)

Absolute stereochemistry.



AN 2005:1021750 CAPLUS Full-text
 DN 143:306309
 TI Preparation of triazacyclopenta[b]naphthalene derivatives as modulators of
 glucocorticoid receptor
 IN Clark, Robin D.; Ray, Nicholas C.; Blaney, Paul M.; Hurley, Christopher
 A.; Williams, Karen
 PA Corcept Therapeutics, Inc., USA
 SO PCT Int. Appl., 160 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005087769	A1	20050922	WO 2005-US8049	20050309
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2005222421	A1	20050922	AU 2005-222421	20050309
	AU 2005222421	B2	20100923		
	CA 2558899	A1	20050922	CA 2005-2558899	20050309
	EP 1735308	A1	20061227	EP 2005-725295	20050309
	EP 1735308	B1	20080910		
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
	CN 101027301	A	20070829	CN 2005-80011481	20050309
	JP 2007528417	T	20071011	JP 2007-503030	20050309
	AT 407934	T	20080915	AT 2005-725295	20050309
	PT 1735308	E	20081202	PT 2005-725295	20050309
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	NZ 550362	A	20100625	NZ 2005-550362	20050309
	ZA 2006008306	A	20090225	ZA 2006-8306	20061005
	KR 2007029684	A	20070314	KR 2006-7020988	20061009
	IN 2006CN03745	A	20070615	IN 2006-CN3745	20061009

US 20070281928	A1	20071206	US 2007-591884	20070507
HK 1104813	A1	20090403	HK 2007-106903	20070627
PRAI US 2004-551836P	P	20040309		
WO 2005-US8049	W	20050309		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:306309; MARPAT 143:306309

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT